



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 157111

TO: Rei-Tsang Shiao
Location: 5a10 / 5c18
Thursday, June 23, 2005
Art Unit: 1626
Phone: 571-272-0707
Serial Number: 10 / 786612

From: Jan Delaval
Location: Biotech-Chem Library
Remsen 1a51
Phone: 571-272-2504
jan.delaval@uspto.gov

Search Notes

Jan Delaval
for search

Access DB# 157111

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Robert (Rab) Shiao Examiner #: 7952/ Date: 6/4/95
Art Unit: 1626 Phone Number: 2-0707 Serial Number: 10/86, 612
Mail Box and Bldg/Room Location: 5A11/5C18 Results Format Preferred (check): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

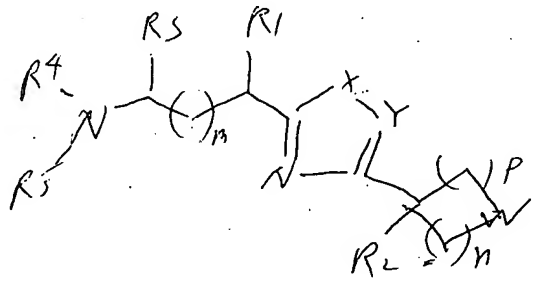
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or inquiry in the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Thiazide and
Inventors (please provide full names): Curly et al

Earliest Priority Filing Date:

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

2 search cpd C (see claim 25)



=> fil reg

FILE 'REGISTRY' ENTERED AT 14:38:29 ON 23 JUN 2005

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 JUN 2005 HIGHEST RN 852803-45-3

DICTIONARY FILE UPDATES: 22 JUN 2005 HIGHEST RN 852803-45-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

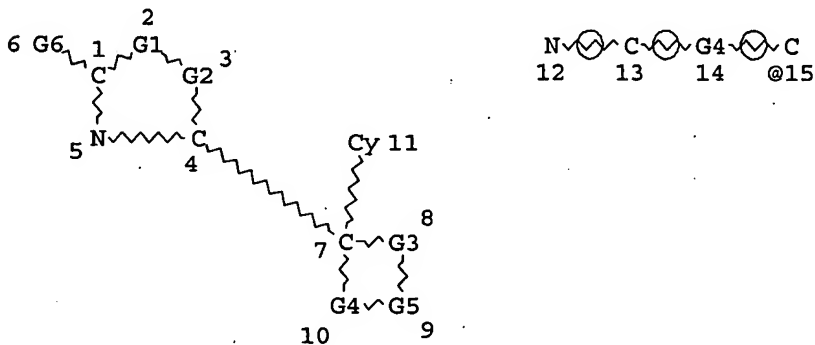
 *
 * The CA roles and document type information have been removed from *
 * the IDE default display format and the ED field has been added, *
 * effective March 20, 2005. A new display format, IDERL, is now *
 * available and contains the CA role and document type information. *
 *

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que 135

L11 STR



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 16 17 18 @19

N-C-G4-C
 20 21 22 @23

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VAR G2=N/C

REP G3=(1-3) C

REP G4=(0-3) C

VAR G5=C/O/N
 VAR G6=23/19/15
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 NSPEC IS RC AT 20
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE

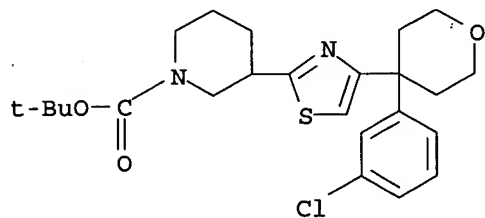
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 L33 216571 SEA FILE=REGISTRY ABB=ON PLU=ON L31 OR L32
 L35 16 SEA FILE=REGISTRY SUB=L33 SSS FUL L11

100.0% PROCESSED 168683 ITERATIONS
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16 ANSWERS

=> d ide can tot l35

L35 ANSWER 1 OF 16 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 473707-09-4 REGISTRY
 ED Entered STN: 15 Nov 2002
 CN 1-Piperidinecarboxylic acid, 3-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C24 H31 Cl N2 O3 S
 SR CA
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



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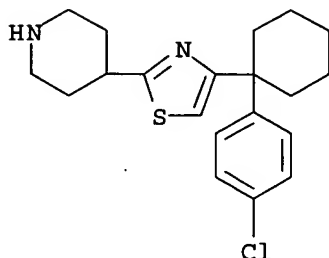
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REFERENCE 1: 137:325411

L35 ANSWER 2 OF 16 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 473707-04-9 REGISTRY
 ED Entered STN: 15 Nov 2002

jan delaval - 23 june 2005

CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]- (9CI) (CA
INDEX NAME)
FS 3D CONCORD
MF C20 H25 Cl N2 S
SR CA
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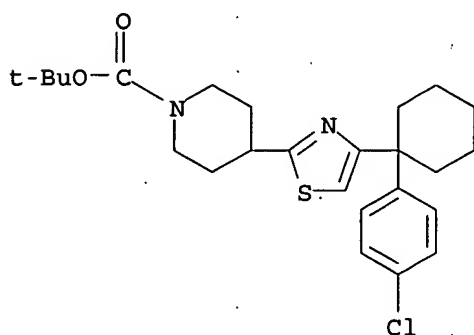


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REFERENCE 1: 137:325411

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RN 473707-03-8 REGISTRY
ED Entered STN: 15 Nov 2002
CN 1-Piperidinecarboxylic acid, 4-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
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SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

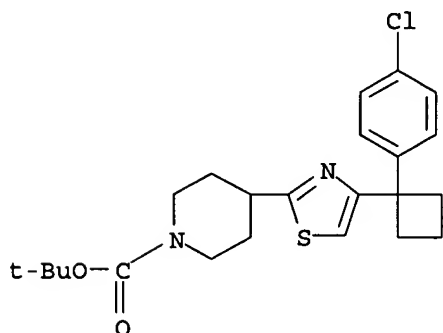


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L35 ANSWER 4 OF 16 REGISTRY COPYRIGHT 2005 ACS on STN
RN 473707-01-6 REGISTRY
ED Entered STN: 15 Nov 2002
CN 1-Piperidinecarboxylic acid, 4-[4-[1-(4-chlorophenyl)cyclobutyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
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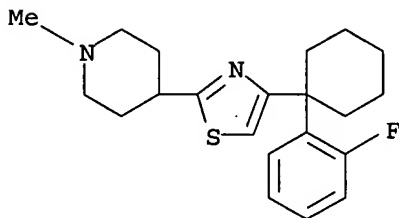


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L35 ANSWER 5 OF 16 REGISTRY COPYRIGHT 2005 ACS on STN
RN 473706-47-7 REGISTRY
ED Entered STN: 15 Nov 2002
CN Piperidine, 4-[4-[1-(2-fluorophenyl)cyclohexyl]-2-thiazolyl]-1-methyl- (9CI) (CA INDEX NAME)
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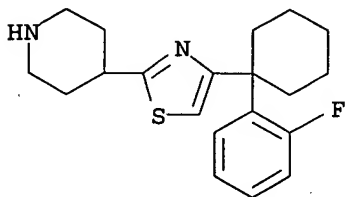


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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:325411

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RN 473706-46-6 REGISTRY
ED Entered STN: 15 Nov 2002
CN Piperidine, 4-[4-[1-(2-fluorophenyl)cyclohexyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)
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SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

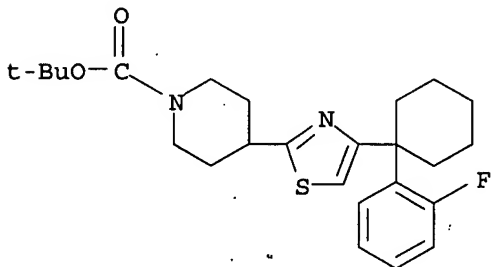


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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:325411

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RN 473706-45-5 REGISTRY
ED Entered STN: 15 Nov 2002
CN 1-Piperidinecarboxylic acid, 4-[4-[1-(2-fluorophenyl)cyclohexyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H33 F N2 O2 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

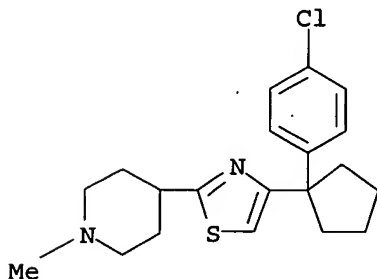


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REFERENCE 1: 137:325411

L35 ANSWER 8 OF 16 REGISTRY COPYRIGHT 2005 ACS on STN
RN 473706-44-4 REGISTRY
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CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclopentyl]-2-thiazolyl]-1-methyl-
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SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

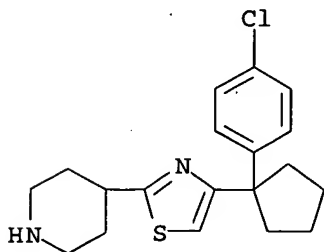


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RN 473706-43-3 REGISTRY
ED Entered STN: 15 Nov 2002
CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclopentyl]-2-thiazolyl]- (9CI) (CA
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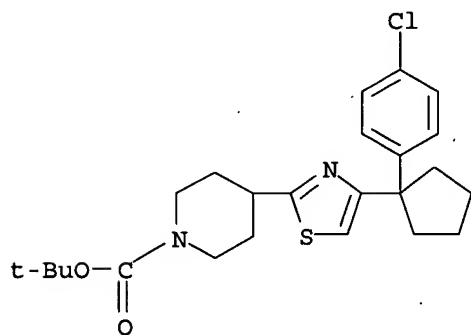


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ED Entered STN: 15 Nov 2002
CN 1-Piperidinecarboxylic acid, 4-[4-[1-(4-chlorophenyl)cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
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SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

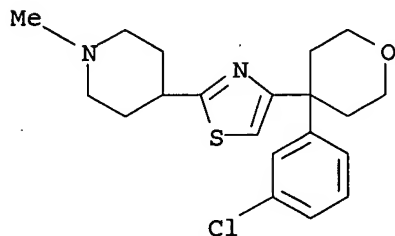


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REFERENCE 1: 137:325411

L35 ANSWER 11 OF 16 REGISTRY COPYRIGHT 2005 ACS on STN
RN 473706-30-8 REGISTRY
ED Entered STN: 15 Nov 2002
CN Piperidine, 4-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl]-1-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
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SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

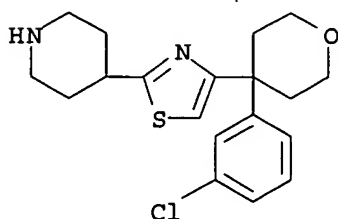


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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:325411

L35 ANSWER 12 OF 16 REGISTRY COPYRIGHT 2005 ACS on STN
RN 473706-29-5 REGISTRY
ED Entered STN: 15 Nov 2002
CN Piperidine, 4-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl]-
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FS 3D CONCORD
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SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

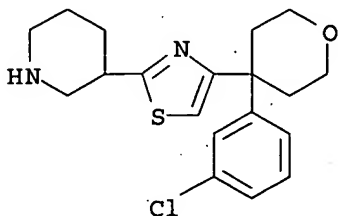


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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:325411

L35 ANSWER 13 OF 16 REGISTRY COPYRIGHT 2005 ACS on STN
RN 473706-04-6 REGISTRY
ED Entered STN: 15 Nov 2002
CN Piperidine, 3-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl]-
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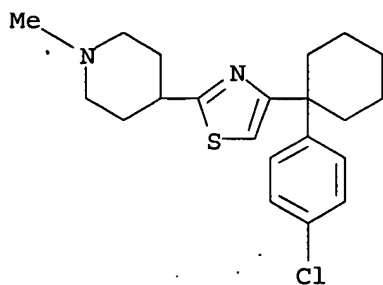


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L35 ANSWER 14 OF 16 REGISTRY COPYRIGHT 2005 ACS on STN
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CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]-1-methyl-
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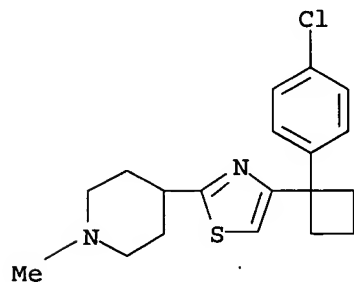


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REFERENCE 1: 137:325411

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RN 473705-97-4 REGISTRY
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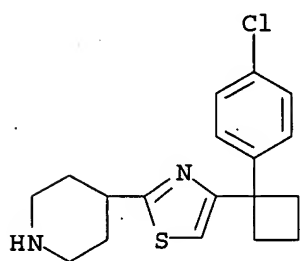


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REFERENCE 1: 137:325411

L35 ANSWER 16 OF 16 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 473705-96-3 REGISTRY
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 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



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REFERENCE 1: 137:325411

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 E HAUSKE J/AU
 L3 79 S E4-E8
 E HERRERNAN M/AU
 E HEFFERNAN M/AU
 L4 48 S E3,E6,E16-E18
 E HOLLAND J/AU
 L5 118 S E3,E19-E21
 E HOLLAND JO/AU
 L6 22 S E4-E6
 E PERSONS P/AU
 L7 32 S E3-E7
 E RADEKE H/AU
 L8 28 S E3-E8
 E SEPRACOR/PA,CS

jan delaval - 23 june 2005

L9 396 S SEPRACOR?/PA,CS
SEL RN L1

FILE 'REGISTRY' ENTERED AT 13:58:10 ON 23 JUN 2005

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L11 STR
L12 0 S L11
L13 STR L11
L14 0 S L13
L15 714630 S (NOCNC OR NSCNC OR NCOC2 OR NCSC2)/ES AND NR>=3
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L18 SCR 2039 OR 2043 OR 2050 OR 2049 OR 2053 OR 2052 OR 2051 OR 205
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L20 SCR 1993 AND 1840
L21 0 S L16 AND L20 NOT L18 SAM SUB=L15
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L24 93 S L10 AND L15
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L27 STR L25
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L32 31576 S 46.150.1/RID AND L15
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L35 16 S L11 FUL SUB=L33
L36 77 S L24 NOT L35
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L37 0 S L35

FILE 'HCAPLUS' ENTERED AT 14:37:44 ON 23 JUN 2005

L38 1 S L35
L39 1 S L35 AND L1-L9

FILE 'USPATFULL, USPAT2' ENTERED AT 14:38:03 ON 23 JUN 2005

L40 3 S L35

FILE 'REGISTRY' ENTERED AT 14:38:29 ON 23 JUN 2005

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 14:38:40 ON 23 JUN 2005

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FILE COVERS 1907 - 23 Jun 2005 VOL 142 ISS 26
FILE LAST UPDATED: 22 Jun 2005 (20050622/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d l39 all hitstr

L39 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:814288 HCAPLUS
DN 137:325411
ED Entered STN: 25 Oct 2002
TI Thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic
and serotonin receptors and transporters
IN Cuny, Gregory D.; Hauske, James R.; Heffernan,
Michele L.; Holland, Joanne M.; Persons, Paul E.;
Radeke, Heike
PA Sepracor, Inc., USA
SO PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C12N
CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

FAN.CNT 1

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	WO 2002083863	A3	20040212		
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	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
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	PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, UZ, VN, YU, ZA, ZM, ZW				
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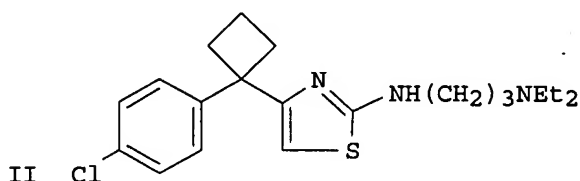
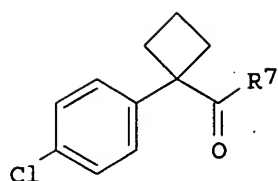
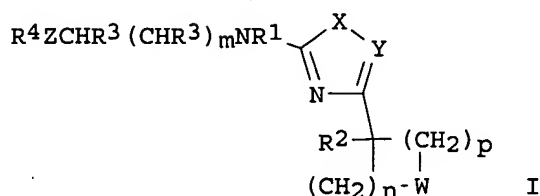
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002083863	ICM	C12N
WO 2002083863	ECLA	C07D271/06D6; C07D277/42; C07D413/12+271+207; C07D417/04+277B+211; C07D417/04+277B+243; C07D417/04+277B+207; C07D417/04+309+277B; C07D417/04+333+277B; C07D417/06+333+277B; C07D417/12+277B+207; C07D417/14+277B+211+211; C07D417/14+309+277B+211; C07D417/14+333+309+277B <--

US 2003105071 NCL 514/236.800; 514/254.020; 514/326.000; 514/370.000;
544/133.000; 544/137.000; 544/369.000; 546/209.000;
548/190.000
ECLA C07D271/06D6; C07D277/42; C07D413/12+271+207;
C07D417/04+277B+207; C07D417/04+277B+243;
C07D417/04+277B+211; C07D417/04+309+277B;
C07D417/04+333+277B; C07D417/06+333+277B;
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US 2004235913 NCL 514/365.000
ECLA C07D271/06D6; C07D277/42; C07D413/12+271+207;
C07D417/04+277B+207; C07D417/04+277B+211;
C07D417/04+277B+243; C07D417/04+309+277B;
C07D417/04+333+277B; C07D417/06+333+277B;
C07D417/12+277B+207; C07D417/14+277B+211+211;
C07D417/14+309+277B+211; C07D417/14+333+309+277B <--

OS MARPAT 137:325411
GI



AB Title compds. I [W = CH₂, O, NR; X = O, S; Y = CR₅, N; Z = NR₆, O; R, R₁, R₄ = H, alkyl; R₂ = aryl, heteroaryl; R₃ = H, alkyl, alkoxy, alkylamino; R₅ = H, alkyl, halogen; R₆ = H, alkyl, aryl, aralkyl; R₁R₃, R₁R₄, R₃R₄, R₃R₆, R₄R₆ = bond; m, n = 0-3; p = 1-3] and their stereoisomers were prepared for use as ligands for various mammalian cellular receptors, including G-protein coupled receptors, such as mammalian dopamine, muscarinic or serotonin receptors or transporters. These compds. will find use in the treatment of ailments, such as addiction, anxiety, depression, sexual dysfunction, hypertension, migraine, Alzheimer's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation, menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhan disease, Wilson's disease, Tourette's syndrome, psychiatric disorders, stroke, senile dementia, peptic ulcers, pulmonary obstruction disorders, and asthma. Thus, the acid II [R₇ = OH] was converted to II [R₇ = CH₂Cl] and treated with Et₂N(CH₂)₃NHCSNH₂ to give the thiazole III. III had IC₅₀ for 5-HT_{2c} receptor binding <100 nM and d₃ receptor binding <1000 nM.

ST aminoalkylaminothiazolylcycloalkane prepn dopamine muscarinic serotonin receptor binding

IT Dopamine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(D3; thiazole and other heterocyclic ligands for mammalian dopamine,
muscarinic and serotonin receptors and transporters)

IT Brain, disease
(Gilles de la Tourette syndrome; thiazole and other heterocyclic
ligands for mammalian dopamine, muscarinic and serotonin receptors and
transporters)

IT Mental disorder
(attention deficit hyperactivity disorder; thiazole and other
heterocyclic ligands for mammalian dopamine, muscarinic and serotonin
receptors and transporters)

IT Mental disorder
(dementia; thiazole and other heterocyclic ligands for mammalian
dopamine, muscarinic and serotonin receptors and transporters)

IT Mental disorder
(depression; thiazole and other heterocyclic ligands for mammalian
dopamine, muscarinic and serotonin receptors and transporters)

IT Sexual behavior
(disorder, premature ejaculation; thiazole and other heterocyclic
ligands for mammalian dopamine, muscarinic and serotonin receptors and
transporters)

IT Sexual behavior
(disorder; thiazole and other heterocyclic ligands for mammalian
dopamine, muscarinic and serotonin receptors and transporters)

IT Menstrual disorder
(dysphoria; thiazole and other heterocyclic ligands for mammalian
dopamine, muscarinic and serotonin receptors and transporters)

IT Bladder, disease
(incontinence; thiazole and other heterocyclic ligands for mammalian
dopamine, muscarinic and serotonin receptors and transporters)

IT Intestine, disease
(irritable bowel syndrome; thiazole and other heterocyclic ligands for
mammalian dopamine, muscarinic and serotonin receptors and
transporters)

IT Headache
(migraine; thiazole and other heterocyclic ligands for mammalian
dopamine, muscarinic and serotonin receptors and transporters)

IT Lung, disease
(obstructive; thiazole and other heterocyclic ligands for mammalian
dopamine, muscarinic and serotonin receptors and transporters)

IT Antiulcer agents
Ulcer
(peptic; thiazole and other heterocyclic ligands for mammalian
dopamine, muscarinic and serotonin receptors and transporters)

IT Mental disorder
(psychosis; thiazole and other heterocyclic ligands for mammalian
dopamine, muscarinic and serotonin receptors and transporters)

IT Brain, disease
(stroke; thiazole and other heterocyclic ligands for mammalian
dopamine, muscarinic and serotonin receptors and transporters)

IT Alzheimer's disease
Analgesia
Analgesics
Anti-Alzheimer's agents
Anti-inflammatory agents
Antiasthmatics
Antidepressants
Antiemetics
Antihypertensives

Antimigraine agents
 Antiobesity agents
 Antiparkinsonian agents
 Antipsychotics
 Anxiety
 Anxiolytics
 Asthma
 Biological transport
 Drug dependence
 Human
 Hypertension
 Inflammation
 Obesity
 Pain
 Parkinson's disease
 Schizophrenia
 Sleep disorders
 Vomiting
 Wilson's disease

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT G proteins (guanine nucleotide-binding proteins)

Muscarinic receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT Ligands

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT 5-HT receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (type 5-HT_{2C}; thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT Digestive tract, disease

(ulcer, peptic; thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT 473706-03-5P 473706-49-9P 473706-68-2P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT 473705-86-1P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT 473705-85-0P 473705-96-3P 473706-29-5P 473706-77-3P

473706-84-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT 473705-87-2P 473705-88-3P 473705-89-4P 473705-90-7P 473705-91-8P

473705-92-9P 473705-93-0P 473705-94-1P 473705-95-2P

473705-97-4P 473705-98-5P 473705-99-6P 473706-00-2P

473706-01-3P 473706-02-4P 473706-04-6P 473706-05-7P
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 473706-57-9P 473706-58-0P 473706-59-1P 473706-60-4P 473706-61-5P
 473706-62-6P 473706-63-7P 473706-64-8P 473706-65-9P 473706-66-0P
 473706-67-1P 473706-69-3P 473706-70-6P 473706-71-7P 473706-72-8P
 473706-73-9P 473706-74-0P 473706-75-1P 473706-78-4P 473706-80-8P
 473706-81-9P 473706-83-1P 473706-85-3P 473706-86-4P 473706-87-5P
 473706-90-0P 473706-92-2P 473706-93-3P 473706-94-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT 93-17-4, 3,4-Dimethoxyphenylacetoneitrile 104-47-2, 4-Methoxyphenylacetoneitrile 109-01-3, N-Methylpiperazine 140-29-4, Phenylacetoneitrile 140-53-4, 4-Chlorophenylacetoneitrile 459-22-3, 4-Fluorophenylacetoneitrile 1529-41-5, 3-Chlorophenylacetoneitrile 2019-34-3, 3-(4-Chlorophenyl)propanoic acid 2338-75-2, 4-Trifluoromethylphenylacetoneitrile 3874-54-2 4138-26-5, Nipecotamide 4318-37-0, 1-Methyl-2,3,4,5,6,7-hexahydro-1H-1,4-diazepine 4318-42-7, N-Isopropylpiperazine 4897-50-1, 4-Piperidinopiperidine 5414-19-7, Bis(2-bromoethyl) ether 18471-40-4 19924-43-7, 3-Methoxyphenylacetoneitrile 23799-62-4 23799-65-7 33860-28-5 39546-32-2, Isonipecotamide 49561-96-8, 4-Trifluoromethoxyphenylacetoneitrile 50921-39-6, 1-(4-Chlorophenyl)cyclobutanecarboxylic acid 51387-90-7 57260-71-6, N-tert.-Butoxycarbonylpiperazine 58880-37-8 64021-83-6 69478-75-7 80789-69-1 98275-66-2 99799-61-8 106795-66-8 111538-46-6 122641-10-5 156801-47-7 172478-00-1 206761-87-7 214262-96-1 214263-00-0 473701-03-0 473706-97-7 473707-13-0 473707-14-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT 109-64-8P, 1,3-Dibromopropane 1202-81-9P 3648-57-5P 3648-58-6P 3648-74-6P 3648-78-0P 28049-61-8P 61909-89-5P 73161-98-5P 84523-62-6P 91419-48-6P 91419-49-7P 127489-83-2P 156801-61-5P 179420-73-6P 182491-21-0P 196811-66-2P 214834-18-1P 274682-80-3P 404589-70-4P 473706-06-8P 473706-07-9P 473706-08-0P 473706-10-4P 473706-11-5P 473706-12-6P 473706-14-8P 473706-16-0P 473706-17-1P 473706-18-2P 473706-20-6P 473706-22-8P 473706-23-9P 473706-24-0P 473706-26-2P 473706-27-3P 473706-31-9P 473706-33-1P 473706-35-3P 473706-38-6P 473706-40-0P 473706-42-2P 473706-43-3P 473706-45-5P 473706-46-6P 473706-48-8P 473706-76-2P 473706-82-0P 473706-88-6P 473706-89-7P 473706-91-1P 473706-95-5P 473706-96-6P 473706-98-8P 473706-99-9P 473707-00-5P 473707-01-6P 473707-02-7P 473707-03-8P 473707-04-9P 473707-05-0P 473707-06-1P 473707-07-2P 473707-08-3P 473707-09-4P 473707-10-7P 473707-11-8P, [1,4'-Bipiperidine]-1'-carbothioamide 473707-12-9P 473707-15-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

IT 473706-79-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

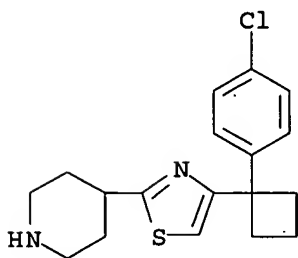
IT 473705-96-3P 473706-29-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

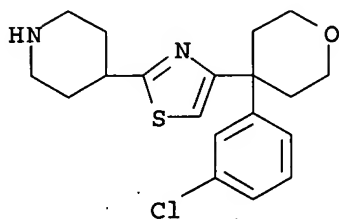
RN 473705-96-3 HCAPLUS

CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclobutyl]-2-thiazolyl] - (9CI) (CA INDEX NAME)



RN 473706-29-5 HCAPLUS

CN Piperidine, 4-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl] - (9CI) (CA INDEX NAME)



IT 473705-97-4P 473706-01-3P 473706-04-6P

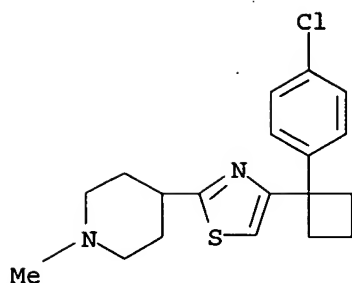
473706-30-8P 473706-44-4P 473706-47-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

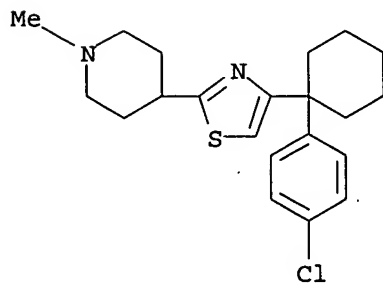
(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

RN 473705-97-4 HCAPLUS

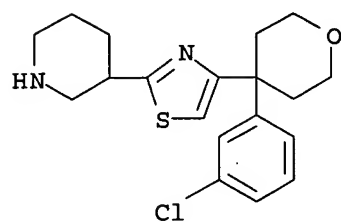
CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclobutyl]-2-thiazolyl]-1-methyl- (9CI) (CA INDEX NAME)



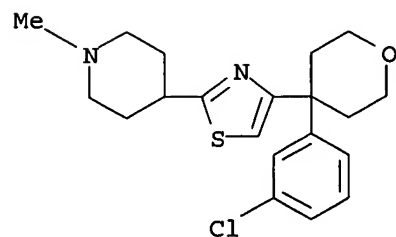
RN 473706-01-3 HCAPLUS
 CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]-1-methyl-
 (9CI) (CA INDEX NAME)



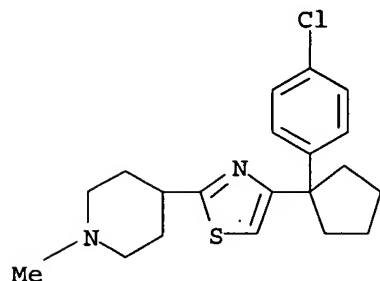
RN 473706-04-6 HCAPLUS
 CN Piperidine, 3-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl]-
 (9CI) (CA INDEX NAME)



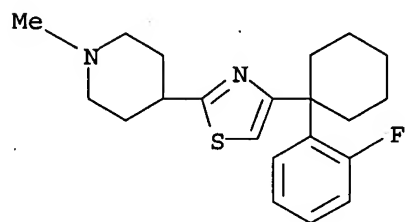
RN 473706-30-8 HCAPLUS
 CN Piperidine, 4-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl]-
 1-methyl- (9CI) (CA INDEX NAME)



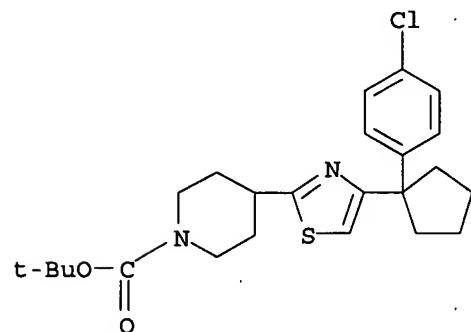
RN 473706-44-4 HCAPLUS
 CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclopentyl]-2-thiazolyl]-1-methyl-
 (9CI) (CA INDEX NAME)



RN 473706-47-7 HCAPLUS
 CN Piperidine, 4-[4-[1-(2-fluorophenyl)cyclohexyl]-2-thiazolyl]-1-methyl-
 (9CI) (CA INDEX NAME)

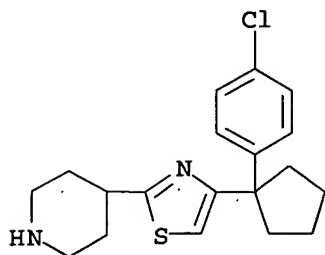


IT 473706-42-2P 473706-43-3P 473706-45-5P
 473706-46-6P 473707-01-6P 473707-03-8P
 473707-04-9P 473707-09-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (thiazole and other heterocyclic ligands for mammalian dopamine,
 muscarinic and serotonin receptors and transporters)
 RN 473706-42-2 HCAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[4-[1-(4-chlorophenyl)cyclopentyl]-2-
 thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



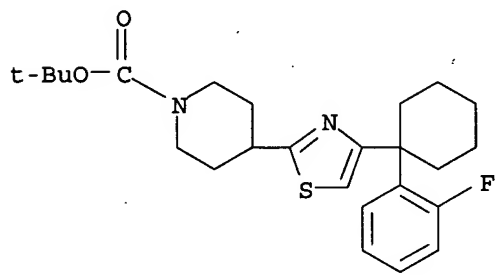
RN 473706-43-3 HCAPLUS

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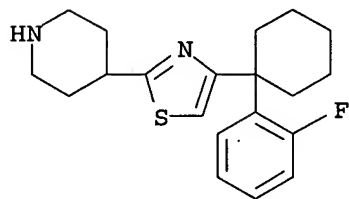
RN 473706-45-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[1-(2-fluorophenyl)cyclohexyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



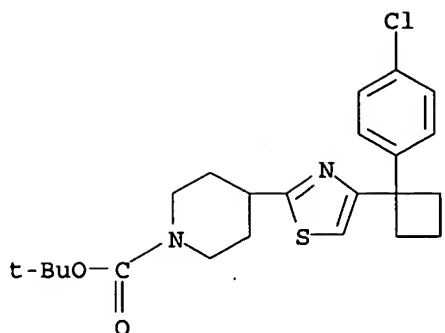
RN 473706-46-6 HCAPLUS

CN Piperidine, 4-[4-[1-(2-fluorophenyl)cyclohexyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



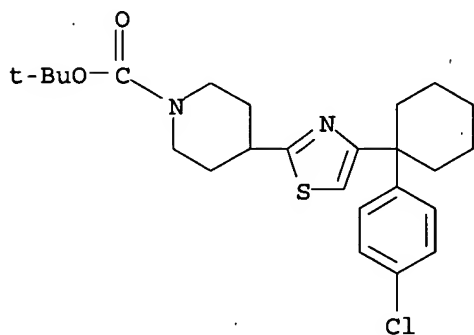
RN 473707-01-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[1-(4-chlorophenyl)cyclobutyl]-2-thiazolyl]-; 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



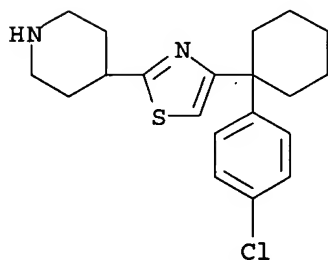
RN 473707-03-8 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



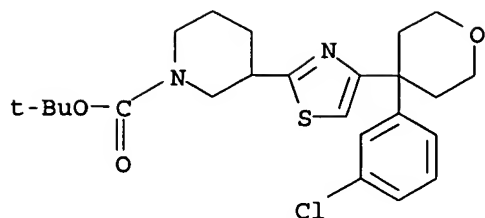
RN 473707-04-9 HCAPLUS

CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 473707-09-4 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



=> fil uspatall

FILE 'USPATFULL' ENTERED AT 14:38:48 ON 23 JUN 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 14:38:48 ON 23 JUN 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d 140 bib abs hitstr tot

L40 ANSWER 1 OF 3 USPATFULL on STN

AN 2004:300044 USPATFULL

TI Thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters, and methods of use thereof

IN Cuny, Gregory D., Somerville, MA, UNITED STATES
 Hauske, James R., Concord, MA, UNITED STATES
 Heffernan, Michele L.R., Worcester, MA, UNITED STATES
 Holland, Joanne M., Brookline, MA, UNITED STATES
 Persons, Paul E., Westborough, MA, UNITED STATES
 Radeke, Heike, South Grafton, MA, UNITED STATES

PI US 2004235913 A1 20041125

AI US 2004-786612 A1 20040225 (10)

RLI Division of Ser. No. US 2002-123089, filed on 12 Apr 2002, GRANTED, Pat. No. US 6699866

PRAI US 2001-284159P 20010417 (60)

US 2001-313648P 20010820 (60)

DT Utility

FS APPLICATION

LREP FOLEY HOAG, LLP, PATENT GROUP, WORLD TRADE CENTER WEST, 155 SEAPORT BLVD, BOSTON, MA, 02110

CLMN Number of Claims: 106

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 5343

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB One aspect of the present invention relates to novel heterocyclic compounds. A second aspect of the present invention relates to the use of the novel heterocyclic compounds as ligands for various mammalian cellular receptors, including G-protein coupled receptors. A third aspect of the present invention relates to the use of the novel heterocyclic compounds as ligands for mammalian dopamine, muscarinic or serotonin receptors or transporters. Another aspect of the present invention relates to the use of the novel heterocyclic compounds as ligands for mammalian dopamine, muscarinic or serotonin receptors. The compounds of the present invention will also find use in the treatment of numerous ailments, conditions and diseases which afflict mammals, including but not limited to addiction, anxiety, depression, sexual

dysfunction, hypertension, migraine, Alzheimer's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation, menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhane disease, Wilson's disease, Tourette's syndrome, psychiatric disorders, stroke, senile dementia, peptic ulcers, pulmonary obstruction disorders, and asthma.

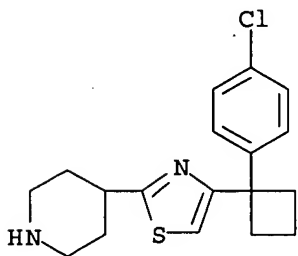
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 473705-96-3P 473706-29-5P

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

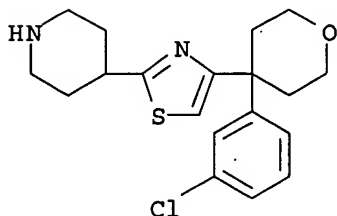
RN 473705-96-3 USPATFULL

CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclobutyl]-2-thiazolyl] - (9CI) (CA INDEX NAME)



RN 473706-29-5 USPATFULL

CN Piperidine, 4-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl] - (9CI) (CA INDEX NAME)



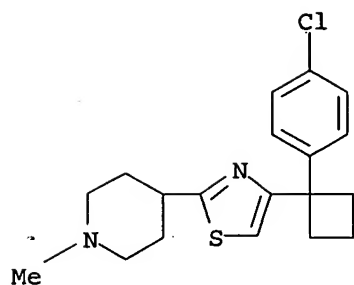
IT 473705-97-4P 473706-01-3P 473706-04-6P

473706-30-8P 473706-44-4P 473706-47-7P

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

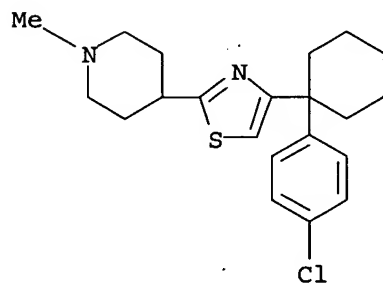
RN 473705-97-4 USPATFULL

CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclobutyl]-2-thiazolyl]-1-methyl- (9CI) (CA INDEX NAME)



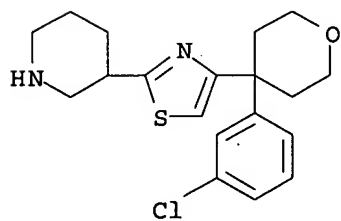
RN 473706-01-3 USPATFULL

CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]-1-methyl-
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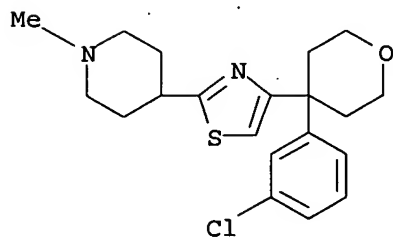
RN 473706-04-6 USPATFULL

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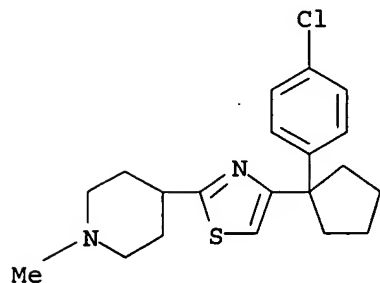


RN 473706-30-8 USPATFULL

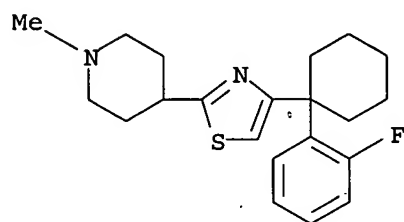
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1-methyl- (9CI) (CA INDEX NAME)



RN 473706-44-4 USPATFULL

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(9CI) (CA INDEX NAME)

RN 473706-47-7 USPATFULL

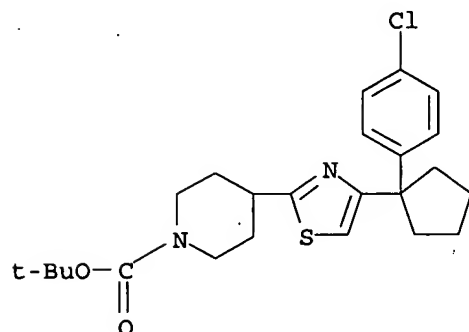
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IT 473706-42-2P 473706-43-3P 473706-45-5P
 473706-46-6P 473707-01-6P 473707-03-8P
 473707-04-9P 473707-09-4P

(thiazole and other heterocyclic ligands for mammalian dopamine,
 muscarinic and serotonin receptors and transporters)

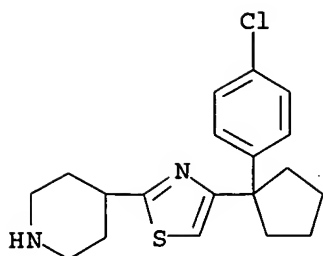
RN 473706-42-2 USPATFULL

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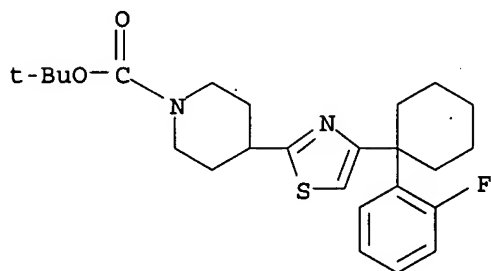
RN 473706-43-3 USPATFULL

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INDEX NAME)



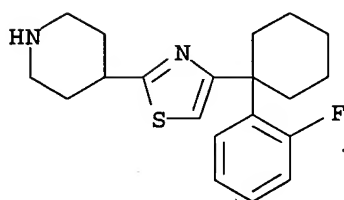
RN 473706-45-5 USPATFULL

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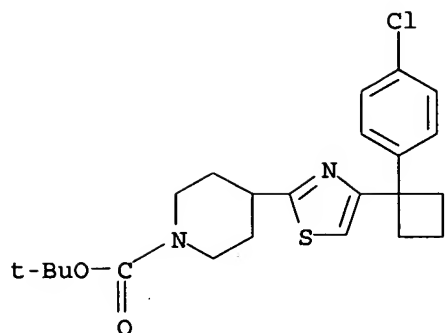
RN 473706-46-6 USPATFULL

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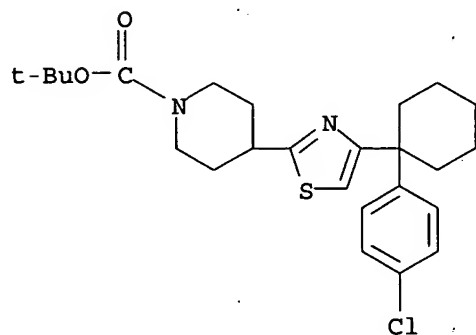
RN 473707-01-6 USPATFULL

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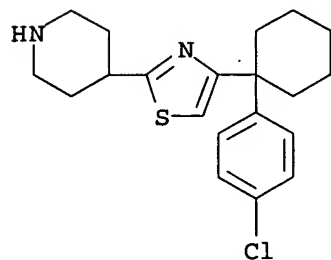
RN 473707-03-8 USPATFULL

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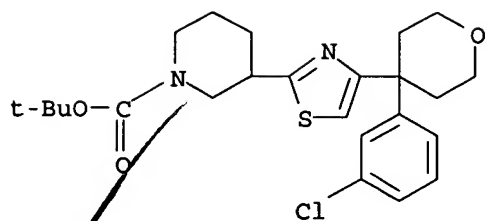
RN 473707-04-9 USPATFULL

CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 473707-09-4 USPATFULL

CN 1-Piperidinecarboxylic acid, 3-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L40 ANSWER 2 OF 3 USPATFULL on STN

AN 2003:153403 USPATFULL

TI Thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters, and methods of use thereof

IN Cuny, Gregory D., Somerville, MA, UNITED STATES
 Hauske, James R., Concord, MA, UNITED STATES
 Heffernan, Michele L.R., Worcester, MA, UNITED STATES
 Holland, Joanne M., Brookline, MA, UNITED STATES
 Persons, Paul E., Westborough, MA, UNITED STATES
 Radeke, Heike, Grafton, MA, UNITED STATES

PI US 2003105071 A1 20030605

US 6699866 B2 20040302

AI US 2002-123089 A1 20020412 (10)

PRAI US 2001-284159P 20010417 (60)

US 2001-313648P 20010820 (60)

DT Utility

FS APPLICATION

LREP FOLEY HOAG, LLP, PATENT GROUP, WORLD TRADE CENTER WEST, 155 SEAPORT BLVD, BOSTON, MA, 02110

CLMN Number of Claims: 106

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 5332

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB One aspect of the present invention relates to novel heterocyclic compounds. A second aspect of the present invention relates to the use of the novel heterocyclic compounds as ligands for various mammalian cellular receptors, including G-protein coupled receptors. A third aspect of the present invention relates to the use of the novel heterocyclic compounds as ligands for mammalian dopamine, muscarinic or serotonin receptors or transporters. Another aspect of the present invention relates to the use of the novel heterocyclic compounds as ligands for mammalian dopamine, muscarinic or serotonin receptors. The compounds of the present invention will also find use in the treatment of numerous ailments, conditions and diseases which afflict mammals, including but not limited to addiction, anxiety, depression, sexual dysfunction, hypertension, migraine, Alzheimer's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation, menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhan disease, Wilson's disease, Tourette's syndrome, psychiatric disorders, stroke, senile dementia, peptic ulcers, pulmonary obstruction disorders, and asthma.

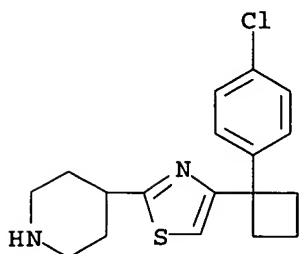
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 473705-96-3P 473706-29-5P

(thiazole and other heterocyclic ligands for mammalian dopamine,
muscarinic and serotonin receptors and transporters)

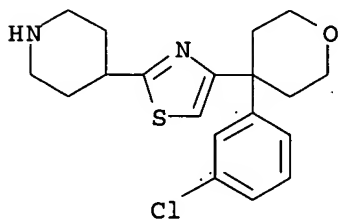
RN 473705-96-3 USPATFULL

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INDEX NAME)



RN 473706-29-5 USPATFULL

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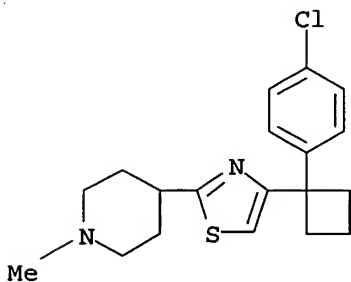


IT 473705-97-4P 473706-01-3P 473706-04-6P
473706-30-8P 473706-44-4P 473706-47-7P

(thiazole and other heterocyclic ligands for mammalian dopamine,
muscarinic and serotonin receptors and transporters)

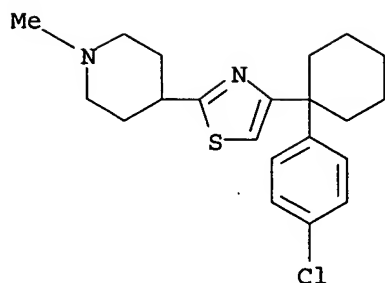
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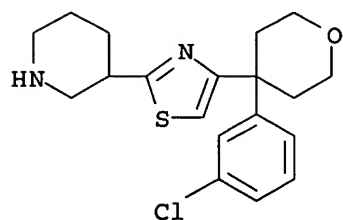


RN 473706-01-3 USPATFULL

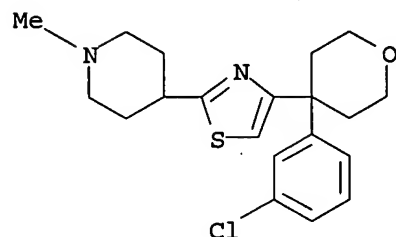
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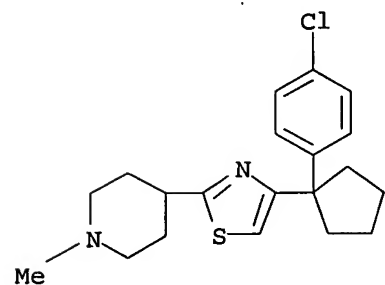
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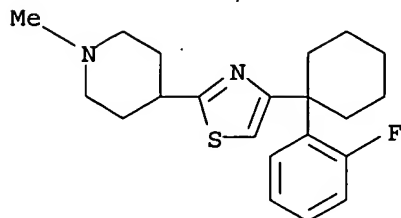
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 1-methyl- (9CI) (CA INDEX NAME)



RN 473706-44-4 USPATFULL
 CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclopentyl]-2-thiazolyl]-1-methyl-
 (9CI) (CA INDEX NAME)



RN 473706-47-7 USPATFULL

CN Piperidine, 4-[4-[1-(2-fluorophenyl)cyclohexyl]-2-thiazolyl]-1-methyl-
(9CI) (CA INDEX NAME)

IT 473706-42-2P 473706-43-3P 473706-45-5P

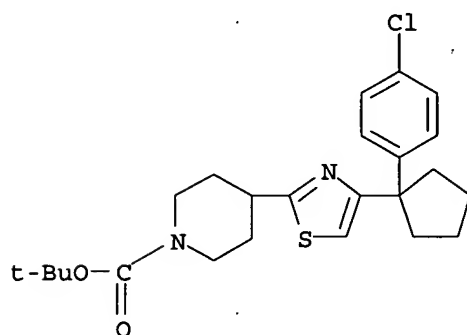
473706-46-6P 473707-01-6P 473707-03-8P

473707-04-9P 473707-09-4P

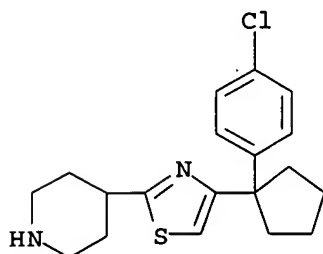
(thiazole and other heterocyclic ligands for mammalian dopamine,
muscarinic and serotonin receptors and transporters)

RN 473706-42-2 USPATFULL

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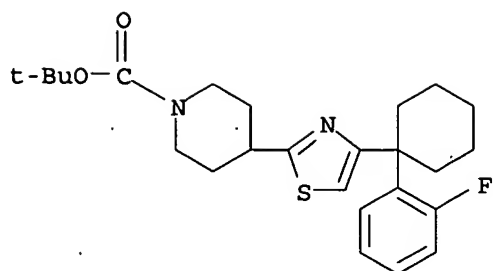


RN 473706-43-3 USPATFULL

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INDEX NAME)

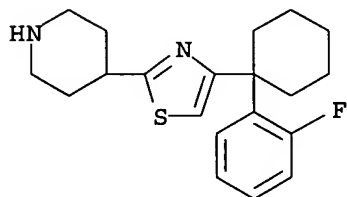
RN 473706-45-5 USPATFULL

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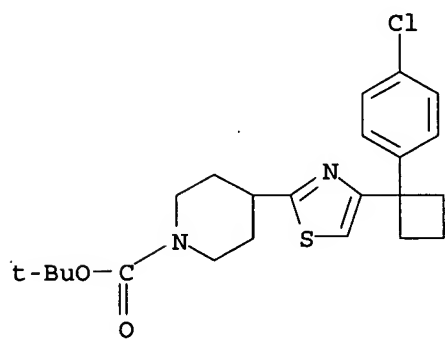
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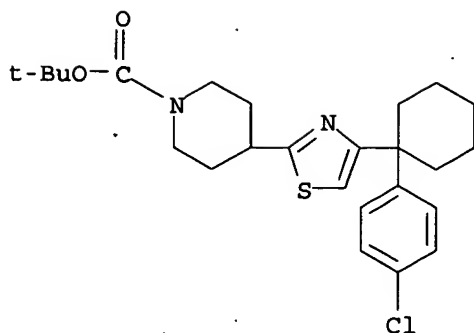
RN 473707-01-6 USPATFULL

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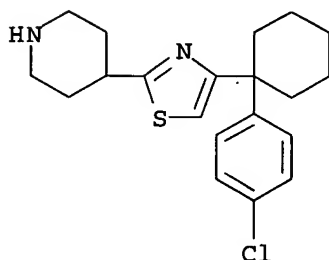
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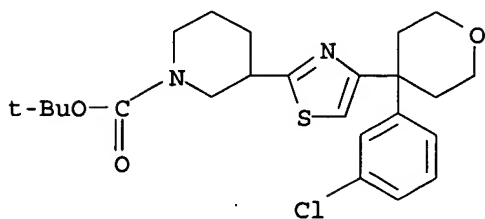
RN 473707-04-9 USPATFULL

CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 473707-09-4 USPATFULL

CN 1-Piperidinecarboxylic acid, 3-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L40 ANSWER 3 OF 3 USPAT2 on STN

AN 2003:153403 USPAT2

TI Thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters, and methods of use thereof

IN Cuny, Gregory D., Somerville, MA, United States
 Hauske, James R., Concord, MA, United States
 Heffernan, Michele L. R., Worcester, MA, United States
 Holland, Joanne M., Brookline, MA, United States
 Persons, Paul E., Westborough, MA, United States
 Radeke, Heike, South Grafton, MA, United States

PA Sepracor Inc., Marlborough, MA, United States (U.S. corporation)

PI US 6699866 B2 20040302

AI US 2002-123089 20020412 (10)
 PRAI US 2001-284159P 20010417 (60)
 US 2001-313648P 20010820 (60)
 DT Utility
 FS GRANTED
 EXNAM Primary Examiner: Rotman, Alan L.; Assistant Examiner: Shiao, Roei
 LREP Gordon, Dana M., Foley Hoag LLP
 CLMN Number of Claims: 23
 ECL Exemplary Claim: 1
 DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
 LN.CNT 4972
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB One aspect of the present invention relates to novel heterocyclic compounds. A second aspect of the present invention relates to the use of the novel heterocyclic compounds as ligands for various mammalian cellular receptors, including G-protein coupled receptors. A third aspect of the present invention relates to the use of the novel heterocyclic compounds as ligands for mammalian dopamine, muscarinic or serotonin receptors or transporters. Another aspect of the present invention relates to the use of the novel heterocyclic compounds as ligands for mammalian dopamine, muscarinic or serotonin receptors. The compounds of the present invention will also find use in the treatment of numerous ailments, conditions and diseases which afflict mammals, including but not limited to addiction, anxiety, depression, sexual dysfunction, hypertension, migraine, Alzheimer's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation, menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhan disease, Wilson's disease, Tourette's syndrome, psychiatric disorders, stroke, senile dementia, peptic ulcers, pulmonary obstruction disorders, and asthma.

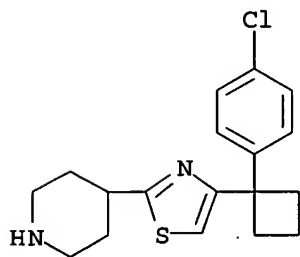
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 473705-96-3P 473706-29-5P

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

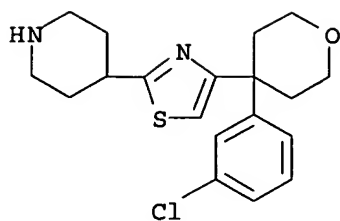
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CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclobutyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 473706-29-5 USPAT2

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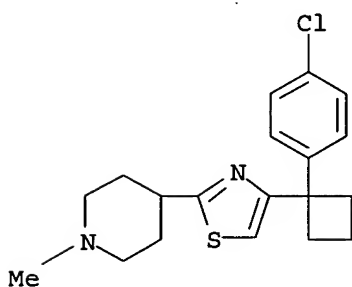


IT 473705-97-4P 473706-01-3P 473706-04-6P
473706-30-8P 473706-44-4P 473706-47-7P

(thiazole and other heterocyclic ligands for mammalian dopamine,
muscarinic and serotonin receptors and transporters)

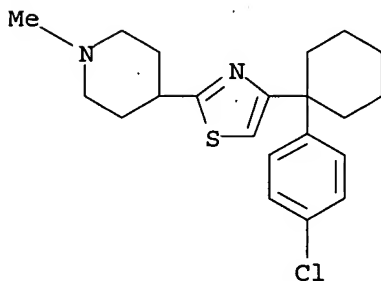
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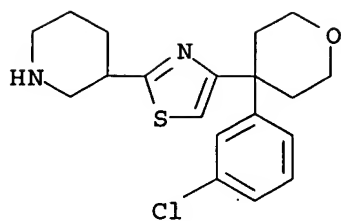
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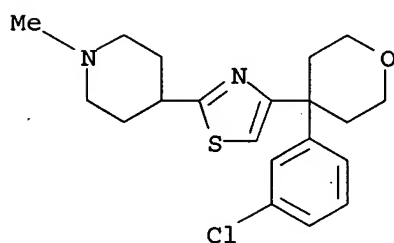
RN 473706-04-6 USPAT2

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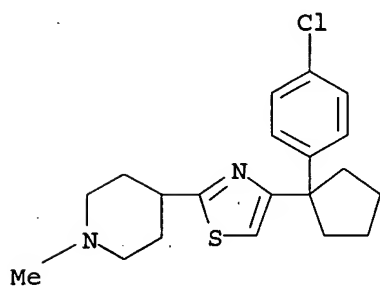
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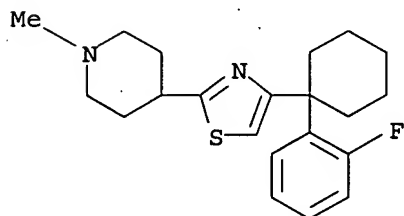
RN 473706-44-4 USPAT2

CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclopentyl]-2-thiazolyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 473706-47-7 USPAT2

CN Piperidine, 4-[4-[1-(2-fluorophenyl)cyclohexyl]-2-thiazolyl]-1-methyl- (9CI) (CA INDEX NAME)



IT 473706-42-2P 473706-43-3P 473706-45-5P

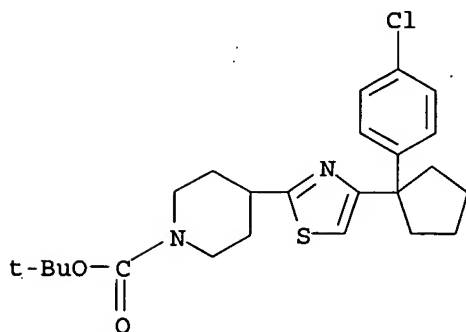
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473707-04-9P 473707-09-4P

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

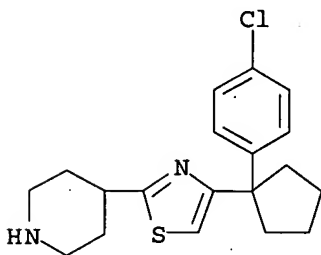
RN 473706-42-2 USPAT2

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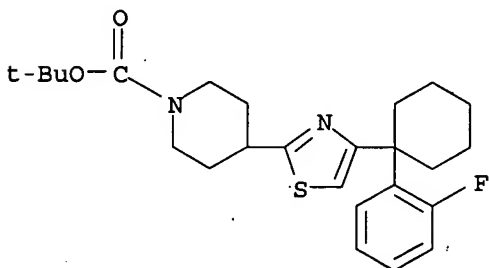
RN 473706-43-3 USPAT2

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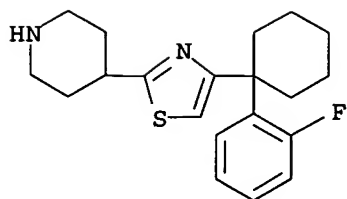
RN 473706-45-5 USPAT2

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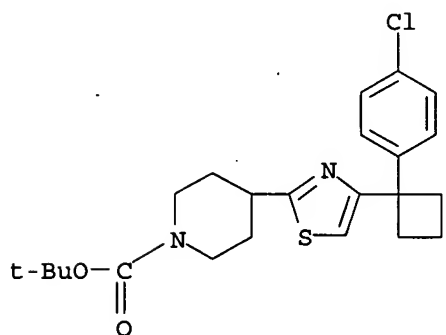
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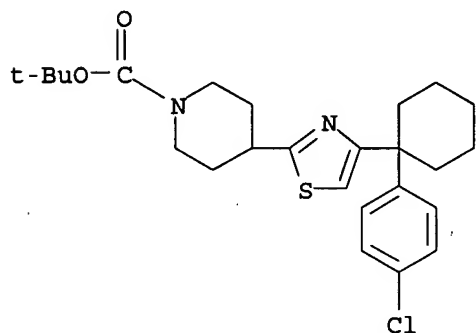
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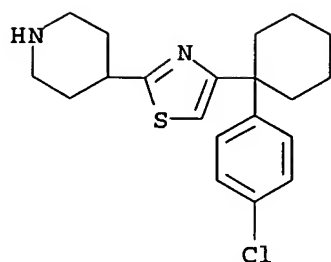
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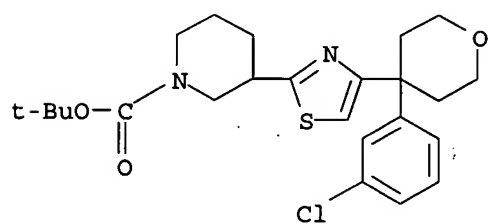
RN 473707-04-9 USPAT2

CN Piperidine, 4-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 473707-09-4 USPAT2

CN 1-Piperidinecarboxylic acid, 3-[4-[4-(3-chlorophenyl)tetrahydro-2H-pyran-4-yl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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